

09/50,560

(FILE 'HOME' ENTERED AT 12:02:44 ON 09 MAR 2005)

FILE 'STNGUIDE' ENTERED AT 12:02:52 ON 09 MAR 2005

L1 0 S (CUMMING, K? OR CUMMING K?)/AU,IN
L2 0 S (IAN-CUMMING, K? OR IAN-CUMMING K?)/AU,IN
L3 0 S (IAN, K? OR IAN K?)/AU,IN
L4 0 S FILE .BEN

FILE 'CAPLUS, EMBASE, BIOSIS, MEDLINE, WPIDS' ENTERED AT 12:04:28 ON 09 MAR 2005

L5 82 S (CUMMING, K? OR CUMMING K?)/AU,IN
L6 0 S (IAN-CUMMING, K? OR IAN-CUMMING K?)/AU,IN
L7 17 S (IAN, K? OR IAN K?)/AU,IN
L8 66 S (RAMTOOLA, Z? OR RAMTOOLA Z?)/AU,IN
L9 6 S (L5 OR L7) AND L8
L10 4 DUP REM L9 (2 DUPLICATES REMOVED)
L11 159 S L5 OR L7 OR L8
L12 0 S L11 AND (DRY) (2A) (BLEND?)
L13 0 S L11 AND DRY-BLEND?
L14 11 S L11 AND (FATTY) (2A) (ACID?)
L15 5 DUP REM L14 (6 DUPLICATES REMOVED)
L16 4 S L15 NOT L10
L17 224 S (DRY) (3A) (BLEND?) AND (FATTY) (3A) (ACID?)
L18 5 S L17 AND (CAPR?)
L19 5 DUP REM L18 (0 DUPLICATES REMOVED)
L20 11 S L17 AND DRUG?
L21 11 DUP REM L20 (0 DUPLICATES REMOVED)
L22 87 S (DRY) (5A) (CAPRYLAT? OR CAPROIC? OR CAPROATE? OR CAPRAT? OR LA
L23 5 S L22 AND DRUG?
L24 5 DUP REM L23 (0 DUPLICATES REMOVED)
L25 5897 S (MEDIUM) (2A) (CHAIN) (3A) (FATTY) (2A) (ACID?)
L26 1 S L25 AND (DRY) (2A) (BLEND?)
L27 834 S L25 AND (CAPRYLAT? OR CAPROIC? OR CAPROATE? OR CAPRAT? OR LAU
L28 202 S L27 AND DRUG?
L29 3 S L28 AND (SOLID) (3A) (DOSAGE?)
L30 2 DUP REM L29 (1 DUPLICATE REMOVED)
L31 14 S L28 AND (TABLET? OR MULTIPARTIC? OR PARTICL?)
L32 12 DUP REM L31 (2 DUPLICATES REMOVED)

FILE 'STNGUIDE' ENTERED AT 12:23:45 ON 09 MAR 2005

FILE 'CAPLUS, EMBASE, WPIDS' ENTERED AT 12:26:00 ON 09 MAR 2005

FILE 'STNGUIDE' ENTERED AT 12:26:01 ON 09 MAR 2005

FILE 'CAPLUS, EMBASE, WPIDS' ENTERED AT 12:26:20 ON 09 MAR 2005

FILE 'STNGUIDE' ENTERED AT 12:26:21 ON 09 MAR 2005

FILE 'CAPLUS, EMBASE, BIOSIS, MEDLINE, WPIDS' ENTERED AT 12:27:01 ON 09 MAR 2005

L33 178 S L25 AND (TABLET? OR MULTIPARTIC? OR PARTICL?)
L34 9 S L33 AND ENTERIC?
L35 7 DUP REM L34 (2 DUPLICATES REMOVED)

=>

L10 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2
 AN 2000:608556 CAPLUS
 DN 133:198679
 TI Solid oral dosage form containing a permeation enhancer
 IN **Cumming, Kenneth Iain; Ramtoola, Zebunnissa**
 PA Elan Corporation, P.L.C., Ire.
 SO PCT Int. Appl., 65 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000050012	A1	20000831	WO 2000-GB628	20000222
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2363123	AA	20000831	CA 2000-2363123	20000222
	EP 1154761	A1	20011121	EP 2000-905186	20000222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002537321	T2	20021105	JP 2000-600624	20000222
	US 2003091623	A1	20030515	US 2000-510560	20000222
PRAI	US 1999-121048P	P	19990222		
	WO 2000-GB628	W	20000222		

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 8 OF 11 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
AN 2001-191489 [19] WPIDS
DNC C2001-057378
TI New rapidly disintegrating tablets for administration with or without water, comprise an active agent and excipients to form a tablet which is then sintered.
DC A96 B07
IN LAGOVIER, Y; LEVINSON, R S; RILEY, T C; STOTLER, D
PA (KVPH-N) KV PHARM CO; (DRUG-N) DRUGTECH CORP
CYC 95
PI WO 2001010418 A1 20010215 (200119)* EN 25
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
NL OA PT SD SE SL SZ TZ UG ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM
DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC
LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE
SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW
AU 2000067479 A 20010305 (200130)
US 6284270 B1 20010904 (200154)
BR 2000012972 A 20020430 (200237)
CZ 2002000429 A3 20020515 (200241)
EP 1206246 A1 20020522 (200241) EN
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
RO SE SI
US 6465010 B1 20021015 (200271)
US 2003021842 A1 20030130 (200311)
JP 2003506399 W 20030218 (200315) 28
HU 2002002927 A2 20030128 (200323)
MX 2002001243 A1 20040601 (200504)
ADT WO 2001010418 A1 WO 2000-US19564 20000802; AU 2000067479 A AU 2000-67479
20000802; US 6284270 B1 US 1999-366686 19990804; BR 2000012972 A BR
2000-12972 20000802; WO 2000-US19564 20000802; CZ 2002000429 A3 WO
2000-US19564 20000802; CZ 2002-429 20000802; EP 1206246 A1 EP 2000-955250
20000802; WO 2000-US19564 20000802; US 6465010 B1 Cont of US 1999-366686
19990804; US 2001-902751 20010712; US 2003021842 A1 Cont of US 1999-366686
19990804, Cont of US 2001-902751 20010712; US 2002-245639 20020918; JP
2003506399 W WO 2000-US19564 20000802; JP 2001-514938 20000802; HU
2002002927 A2 WO 2000-US19564 20000802; HU 2002-2927 20000802; MX
2002001243 A1 WO 2000-US19564 20000802; MX 2002-1243 20020204
FDT AU 2000067479 A Based on WO 2001010418; BR 2000012972 A Based on WO
2001010418; CZ 2002000429 A3 Based on WO 2001010418; EP 1206246 A1 Based
on WO 2001010418; US 6465010 B1 Cont of US 6284270; US 2003021842 A1 Cont
of US 6284270, Cont of US 6465010; JP 2003506399 W Based on WO 2001010418;
HU 2002002927 A2 Based on WO 2001010418; MX 2002001243 A1 Based on WO
2001010418
PRAI US 1999-366686 19990804; US 2001-902751 20010712;
US 2002-245639 20020918
AN 2001-191489 [19] WPIDS
AB WO 200110418 A UPAB: 20010405
NOVELTY - Rapidly disintegratable tablet for administration with or without the use of water, comprises at least one active substance and a mixture of excipients, where the excipients provide desired characteristics and physical properties and when the tablet is sintered, excellent tablet binding characteristics are obtained.
DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a process for the preparation of a rapidly disintegratable tablet for administration with or without the use of water, comprising:
(a) dissolving at least one carbohydrate and at least one structuring protein or polymer in a suitable solvent, where the solvent provides high porosity upon drying;
(b) spray-drying the dissolved mixture to obtain a matrix or bead;
(c) **dry blending** at least one binding polymer,

and at least one active **drug** with the matrix or bead to obtain a pretableting formulation or adding at least one active **drug** to the solvent or dissolved mixture, or adding the binding polymer to the carbohydrate and/or structuring polymer or protein and/or solvent, so that the binding polymer and the active **drug** may optionally be added before the spray-drying;

(d) compressing the pretableting formulation to obtain a tablet; and

(e) sintering the tablet to allow the binding polymer to change status or melt and allow the polymer to resolidify as the temperature is reduced to ambient.

USE - The tablets can be used for the oral delivery of agents such as ibuprofen, nitroglycerin, clarithromycin or azithromycin (claimed). The quick disintegrating or dissolving tablet may also be useful in an in vitro test kit, a diagnostic kit containing reagents, an immunizing agent, skin antigen, aquaculture as nutrients or medicinals, oral hygiene tablet, localized infections in the mouth, or to extemporaneously prepare an ophthalmic solution for administration to the eye.

ADVANTAGE - The tablets provide quick dissolving characteristics which can be administered with or without the use of water. Since the active ingredient or **drug** can be added to the formulation in a dry state, a wide variety of different types of compounds or active ingredients can be used in the formulation. The composition can also carry a higher payload, i.e. a larger amount of active ingredient per unit dose while still maintaining a small tablet size. The formulation can incorporate both taste masked and controlled release forms.

Dwg.0/1

L21 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:842741 CAPLUS

DN 123:237865

TI Process for preparing fine particle pharmaceuticals by extrusion and spheronization

IN Briskin, Jacqueline E.; Gupta, Pramod K.; Loyd, Claud; Kohler, Robert W.; Semla, Susan J.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9522319	A1	19950824	WO 1995-US1943	19950214
	W: CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2182282	AA	19950824	CA 1995-2182282	19950214
	EP 744941	A1	19961204	EP 1995-909559	19950214
	EP 744941	B1	20030604		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09509176	T2	19970916	JP 1995-521902	19950214
	AT 241962	E	20030615	AT 1995-909559	19950214
	PT 744941	T	20031031	PT 1995-909559	19950214
	ES 2199981	T3	20040301	ES 1995-909559	19950214
	US 6063313	A	20000516	US 1996-655491	19960530
PRAI	US 1994-197025	A	19940216		
	WO 1995-US1943	W	19950214		

AB A process for preparing fine particle pharmaceutical formulations having improved throughput and producing greater uniformity of particle size comprises adding to the dry components of the formulation prior to the steps of wetting, extrusion and spheronization, an extrusion aid material selected from pharmaceutically acceptable oils and waxes having a drop point of 15-115°. The process has 3 distinct advantages over prior art processes; (1) the amount of wetting agent added to the **blend**

of **dry** ingredients in the wetting step does not need to be carefully controlled, (2) the process is capable of producing fine particle with size <0.5 mm, and (3) the particle size and the performance characteristics of the particles produced is more uniform than that resulting from prior art processes. For example, a fine particle formulation was manufactured from a mixture containing Zileuton 50, hydroxypropyl cellulose 5, Na starch glycolate 5, glyceryl behenate 5, and Avicel PH101 35%.

L21 ANSWER 10 OF 11 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

AN 1986-125498 [20] WPIDS

CR 1984-312328 [50]; 1990-036758 [05]

DNC C1986-053569

TI Controlled release tablet - prepared by dry compression of active ingredient, cellulose polymer and difficultly digested material.

DC A96 B07

PA (JANG-I) JANG C G; (TECH-N) TECH TRADE CORP

CYC 2

PI CA 1203481 A 19860422 (198620)* 56

US 4590062 A 19860520 (198623)

ADT CA 1203481 A CA 1981-368500 19810114; US 4590062 A US 1984-628410 19840706

PRAI US 1984-628410 19840706; US 1979-34580 19790430;

US 1979-45856 19790705; US 1980-147929 19800508;

US 1981-316993 19811102; US 1982-419409 19820917;

US 1983-499221 19830531; US 1983-535604 19830926;

US 1984-600472 19840416

AN 1986-125498 [20] WPIDS

CR 1984-312328 [50]; 1990-036758 [05]

AB CA 1203481 A UPAB: 19950810

A dry controlled release compsn. comprises 0.1-95 weight% biologically active ingredient (I) and 5-99.9 weight% of a controlled release binder admixture (II). (II) comprises 1-96 weight% of hydrophobic cellulose polymer (III) and 4-99 weight% of at least one digestive-difficulty soluble component (IV). The compsn. can be directly compressed in a dry state into a tablet form having a hardness of 6-25 kg. (IV) may be a **fatty acid** material, a neutral lipid and/or wax, e.g. carbauba wax, hydrogenated cottonseed oil or a 12-28C **fatty acid**. (III) is e.g. ethyl cellulose, cellulose acetate, cellulose acetate-butyrate or propyl cellulose.

USE/ADVANTAGE - The tablets have increased vertical strength and enhanced resistance to delamination from an external force. (I) is a substance which may be introduced into human bodies, animals, plants, soil and water e.g. **drugs**, herbicides, antifouling agents, insecticides and perfumes.

0/0

Dwg.0/0

ABEQ US 4590062 A UPAB: 19930922

(+16.4.84-US-600472)

Dry direct compressed prod. contains controlled release dosage forms of therapeutically-active particulate agents, and is produced without heat or solvents by (a) **dry blending** particles by size less than 20 mesh comprising 0.01-95 pts.wt. of biologically-active particulate solids with 5-99.99 pts. wt. of matrix blend combination (b) compressing first blend formed under 1.5-20 tons per sq. in. pressure; then (c) recovering prod.

Matrix blend combustion comprises 1-96 pts.wt. of hydrophobic ethylen cellulose, propyl cellulose, cellulose acetate, cellulose propionate, cellulose acetate-butyrate, or cellulose acetate-propionate, and 4-99 pts.wt. of wax, **fatty acid** material or neutral lipid as digestive-difficulty soluble component. Wax comprises carnauba wax, spermceti, beeswax, candelilla wax, esparto, or a paraffin. **Fatty acid** material comprises (12-28C) **fatty acid**,

fatty monoalcohol, **fatty** amide or amine. Lipid comprises stearin, palmitin, castor wax, phospholipid, glycolipidglyceride, hydrogenated cottonseed oil, hydrogenated tallow, and/or metal or organic salts of (11-28C) **fatty acids**.

ADVANTAGE - Has hardness of 4-25 kg. with excellent resistance to delamination when subjected to an external longitudinal force.

L21 ANSWER 11 OF 11 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

AN 1984-312328 [50] WPIDS

CR 1986-125498 [20]; 1990-036758 [05]

DNC C1984-133265

TI Dry direct compression tablets containing hydrophobic carbohydrate - useful for controlled release of **drugs**, pesticides etc. - useful for controlled release of **drugs**, pesticides etc..

DC A96 B04 C03 D23 P33

PA (JANG-I) JANG C G

CYC 31

PI WO 8404674 A 19841206 (198450)* EN 49

RW: AT BE CF CG CH CM DE FR GA GB LU MR NL SE SN TD TG

W: AU BR DK FI HU JP NO RO SU US

AU 8429676 A 19841218 (198512)

EP 147437 A 19850710 (198528) EN

R: AT BE CH DE FR GB LI LU NL SE

BR 8406921 A 19850604 (198529)

ZA 8408732 A 19850513 (198532)

JP 60501459 W 19850905 (198542)

KR 8602197 B 19861231 (198723)

AU 8934751 A 19890907 (198944)

IT 1199235 B 19881230 (199116)#

JP 07059502 B2 19950628 (199530) 9

ADT WO 8404674 A WO 1984-US807 19840529; EP 147437 A EP 1984-902301 19840529;

ZA 8408732 A ZA 1984-8732 19841108; JP 60501459 W JP 1984-502292 19840529;

JP 07059502 B2 JP 1984-502292 19840529, WO 1984-US807 19840529

FDT JP 07059502 B2 Based on JP 60501459, Based on WO 8404674

PRAI US 1984-600472 19840416; US 1983-499221 19830531;

US 1984-628410 19840706; ZA 1984-8732 19841108

AN 1984-312328 [50] WPIDS

CR 1986-125498 [20]; 1990-036758 [05]

AB WO 8404674 A UPAB: 19950810

Dry direct-compressed prod. containing controlled release dosage forms of therapeutically active particulate agents is obtd. by (1) **dry blending** particles all smaller than 20-mesh and consisting of 0.01-95 weight pts. of biologically active particulate solids with 5-99.99 weight pts. of a matrix blend. The blend contain 1-96 weight pts. hydrophobic ethyl cellulose, propyl cellulose, cellulose acetate, propionate, acetobutyrate or acetopropionate with 4-99 weight pts. carnuba wax, spermaceti, beeswax, candebilla wax, esparto or paraffin wax; 12-28C **fatty acid**, 12-28C **fatty** monvalcohol, 12-28C **fatty** amine or amide; stearin; palmitin, castor wax, phospholipids, glycolipids, glycerides, hydrogenated cottonseed oil, hydrogenated tallow or metal salts or organic salts of 11-28C **fatty acids**; or their mixts.; (2) compression of the materials at 1.5-20 tons p.s.i. (3) recovery of the prod. having a hardness of 4-25 kg.

USE/ADVANTAGE - The prod. has good resistance to delamination when subjected to external longitudinal force. It is prepared without use of heat or solvents. The active agent is released over a prolonged period, especially

in

the gastrointestinal tract when it is a **drug** or nutritional supplement. The active agent may also be a pesticide, biocide, fragrance, etc.

0/0

Dwg. 0/0

L32 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:463584 CAPLUS
DN 127:113200
TI Absorption enhancement of argatroban by **medium-chain fatty acid** sodium salts
AU Inamori, T.; Oda, K.; Iwamoto, M.; Fujimura, Y.; Iida, S.
CS Mitsubishi Chemical Corporation, Ibaraki, Japan
SO Proceedings of the International Symposium on Controlled Release of Bioactive Materials (1997), 24th, 283-284
CODEN: PCRMEY; ISSN: 1022-0178
PB Controlled Release Society, Inc.
DT Journal
LA English

=> d 12 ab

L32 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AB Capric acid sodium salt was available for use as an absorption enhancer for argatroban. **Drug** absorption was improved 3-5-fold compared with that of conventional formulation **tablets**. The combination formulation of fast-release granules and enteric-coated granules had a sustained **drug**-plasma level. Low bioavailability may not be due only to poor solubility but also to tough metabolism

L32 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:463584 CAPLUS
DN 127:113200
TI Absorption enhancement of argatroban by **medium-chain fatty acid** sodium salts
AU Inamori, T.; Oda, K.; Iwamoto, M.; Fujimura, Y.; Iida, S.
CS Mitsubishi Chemical Corporation, Ibaraki, Japan
SO Proceedings of the International Symposium on Controlled Release of Bioactive Materials (1997), 24th, 283-284
CODEN: PCRMEY; ISSN: 1022-0178
PB Controlled Release Society, Inc.
DT Journal
LA English
AB Capric acid sodium salt was available for use as an absorption enhancer for argatroban. **Drug** absorption was improved 3-5-fold compared with that of conventional formulation **tablets**. The combination formulation of fast-release granules and enteric-coated granules had a sustained **drug**-plasma level. Low bioavailability may not be due only to poor solubility but also to tough metabolism

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YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS, EMBASE, WPIDS' - CONTINUE? (Y)/N:y

L32 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
TI Absorption enhancement of argatroban by **medium-chain fatty acid** sodium salts
AB Capric acid sodium salt was available for use as an absorption enhancer for argatroban. **Drug** absorption was improved 3-5-fold compared with that of conventional formulation **tablets**. The combination formulation of fast-release granules and enteric-coated granules had a sustained **drug**-plasma level. Low bioavailability may not be due only to poor solubility but also to tough metabolism
ST argatroban absorption enhancer **caprate** sodium salt
IT **Drug** bioavailability
(absorption enhancement of argatroban by **medium-chain fatty acid** sodium salts)
IT 1002-62-6, Capric acid sodium salt
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(absorption enhancement of argatroban by **medium-chain fatty acid** sodium salts)
IT 74863-84-6, Argatroban
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(absorption enhancement of argatroban by **medium-chain fatty acid** sodium salts)

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